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847041

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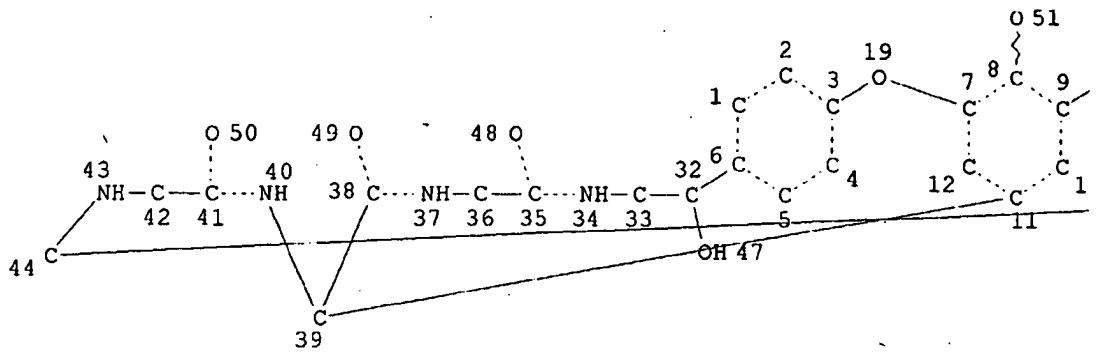

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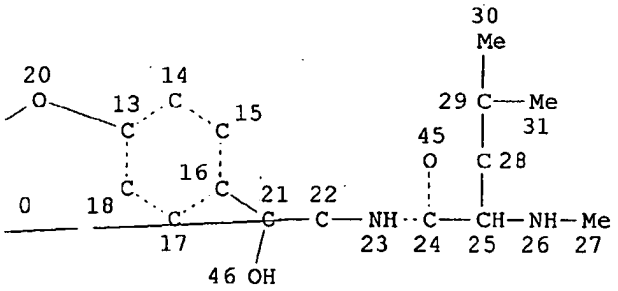

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L3 0 S L1 FUL
L4 STR
L5 STR L4
L6 50 S L5
L7 STR

Searched by: Mary Hale 308-4258 CM-1 1E01

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L9           28 S L5 AND L8
L10             STR
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L12          50 S L11
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L15          14 S L11 AND L14 AND L5 AND L8
L16         273 S L11 AND L14 AND L5 AND L8 FUL
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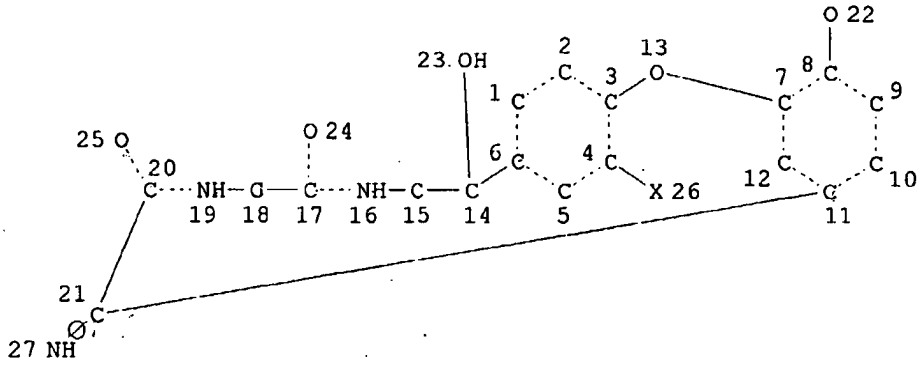


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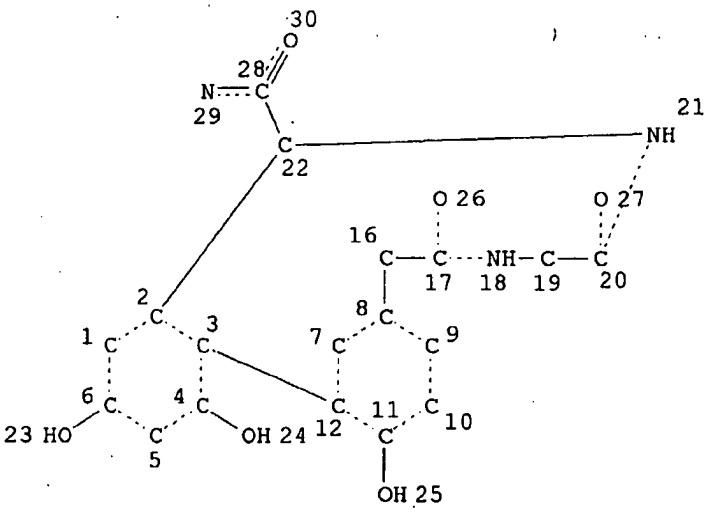


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NODE ATTRIBUTES:


Searched by: Mary Hale 308-4258 CM-1 1E01
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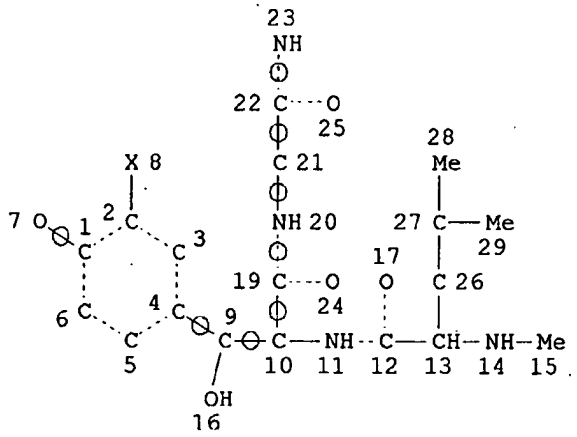

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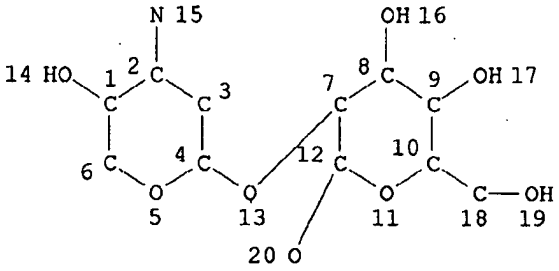

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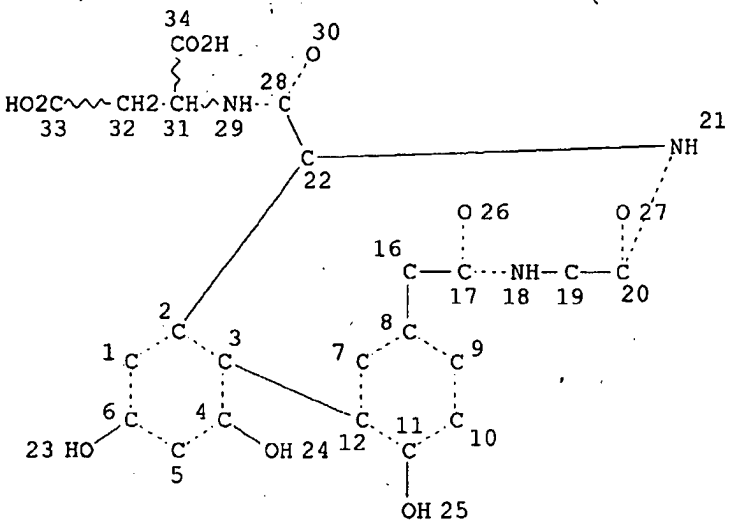
L17 STR

Searched by: Mary Hale 308-4258 CM-1 1E01

R17



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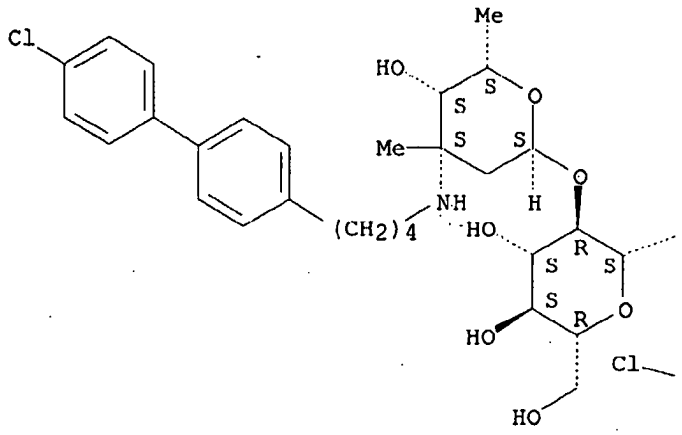
100.0% PROCESSED 76 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

L18 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-94-7 REGISTRY
CN Vancomycin, N3''-[4-(4'-chloro[1,1'-biphenyl]-4-yl)butyl]-26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C86 H95 Cl3 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01

PAGE 1-A



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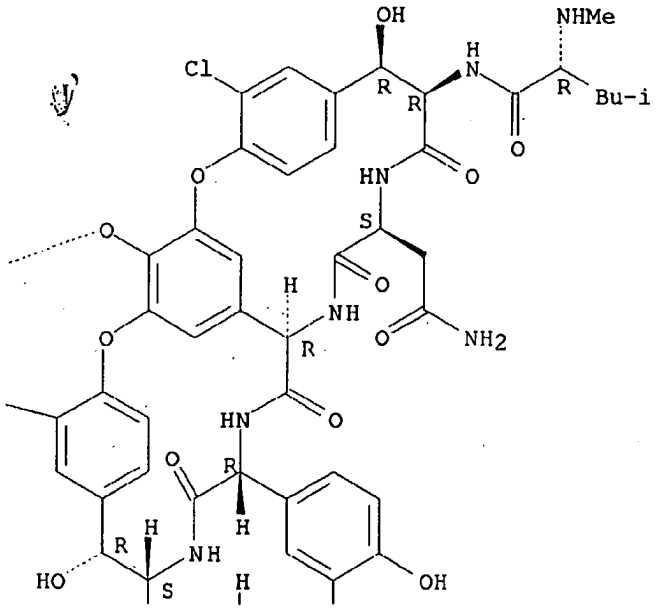

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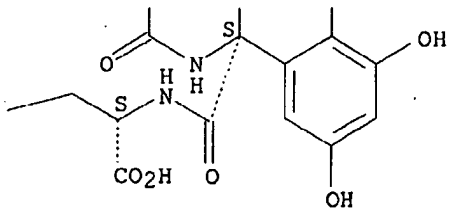
HO_2C—

Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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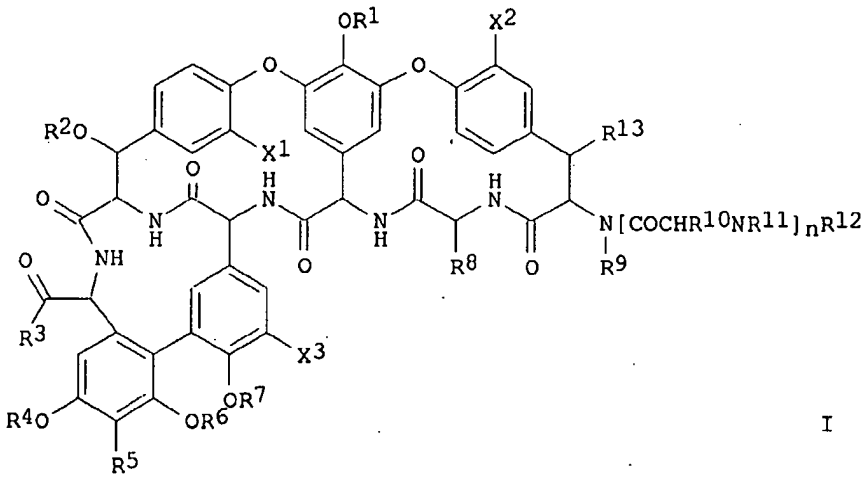


I

AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide

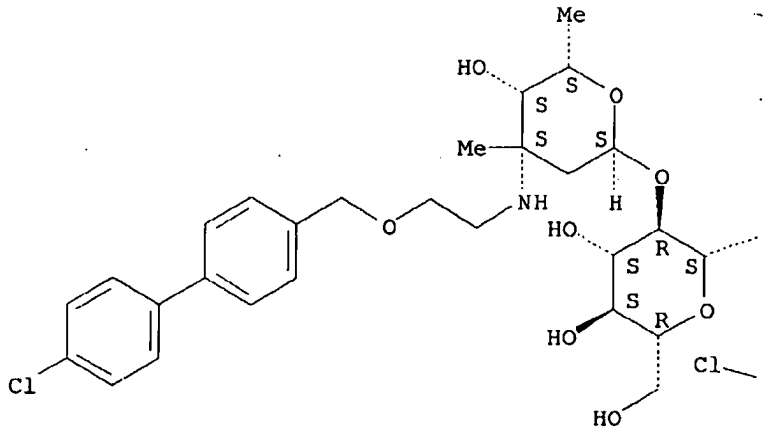
Searched by: Mary Hale 308-4258 CM-1 1E01

group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-93-6 REGISTRY
CN Vancomycin, N3''-[2-[(4'-chloro[1,1'-biphenyl]-4-yl)methoxy]ethyl]-26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C85 H93 Cl3 N10 O28
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PAGE 1-A



Searched by: Mary Hale 308-4258 CM-1 1E01

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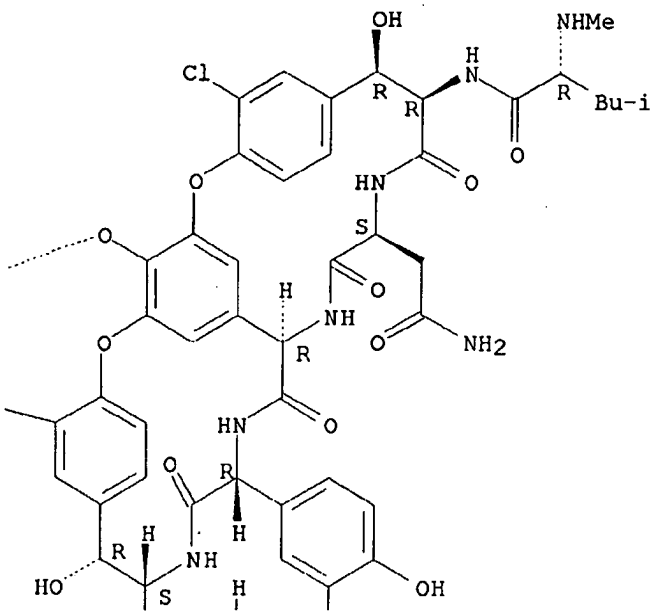

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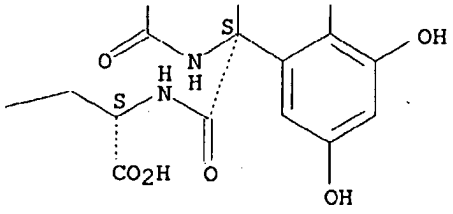
PAGE 2-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,

Searched by: Mary Hale 308-4258 CM-1 1E01

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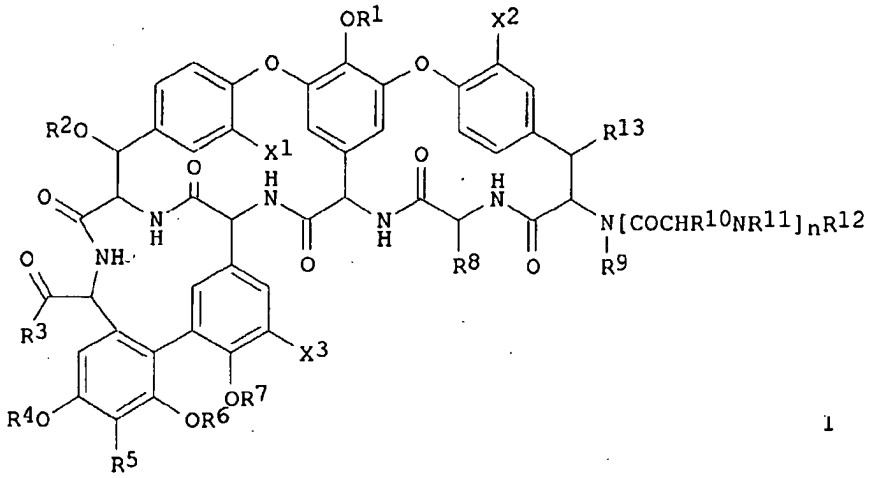

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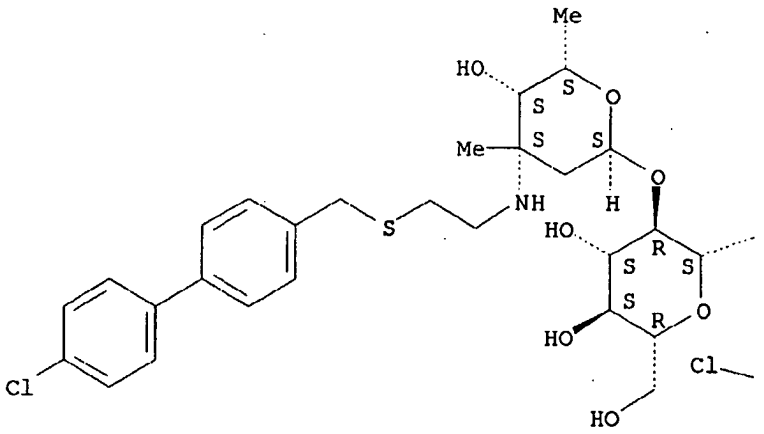
AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 3 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-92-5 REGISTRY
CN Vancomycin, N3''-[2-[[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]thio]ethyl]-26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C85 H93 Cl3 N10 O27 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Searched by: Mary Hale 308-4258 CM-1 1E01

Absolute stereochemistry.

PAGE 1-A



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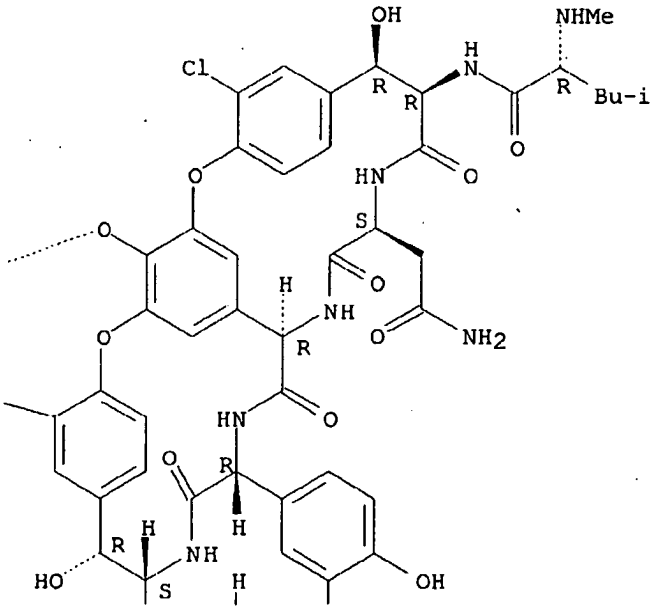

Searched by: Mary Hale 308-4258 CM-1 1E01

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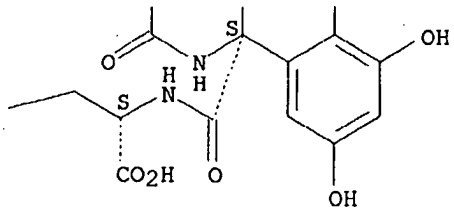
HO_2C—

PAGE 2-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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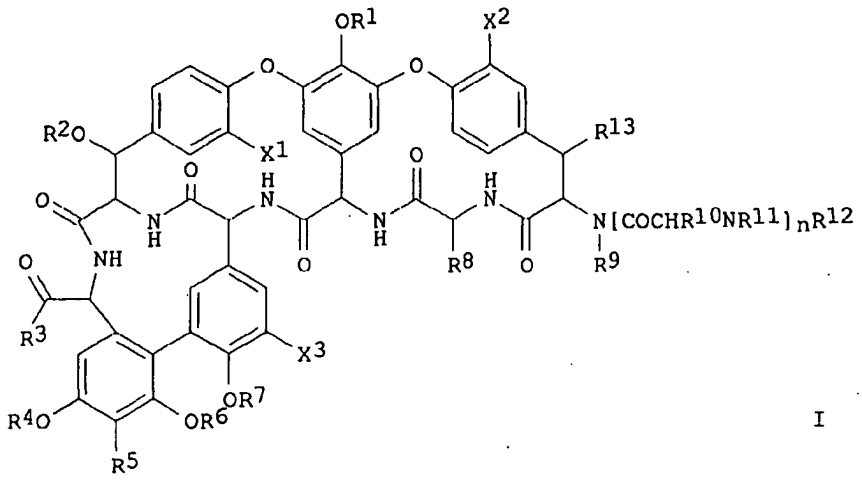
Searched by: Mary Hale 308-4258 CM-1 1E01



I

AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)arylenoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-91-4 REGISTRY
CN Vancomycin, N3''-[(4'-chloro[1,1'-biphenyl]-4-yl)methyl]-26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C83 H89 C13 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01

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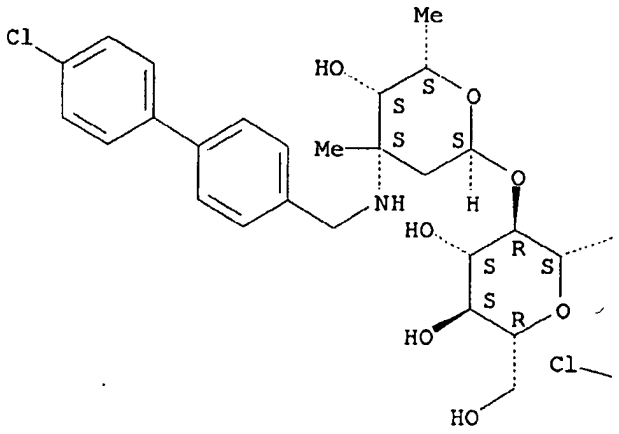

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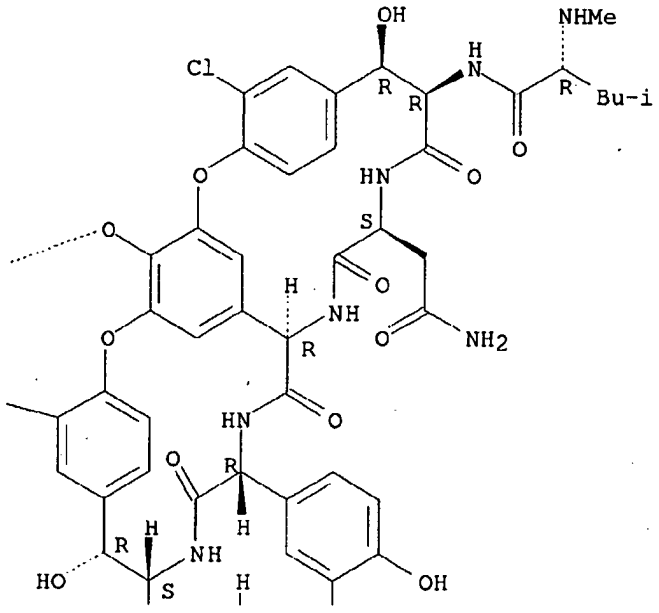

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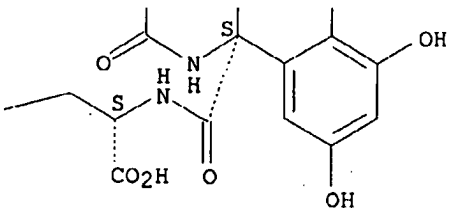
HO_2C

Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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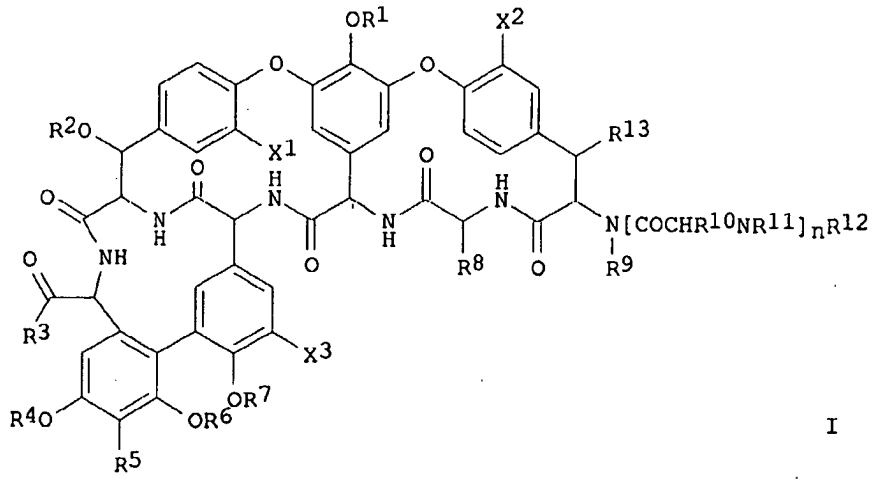


I

AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide

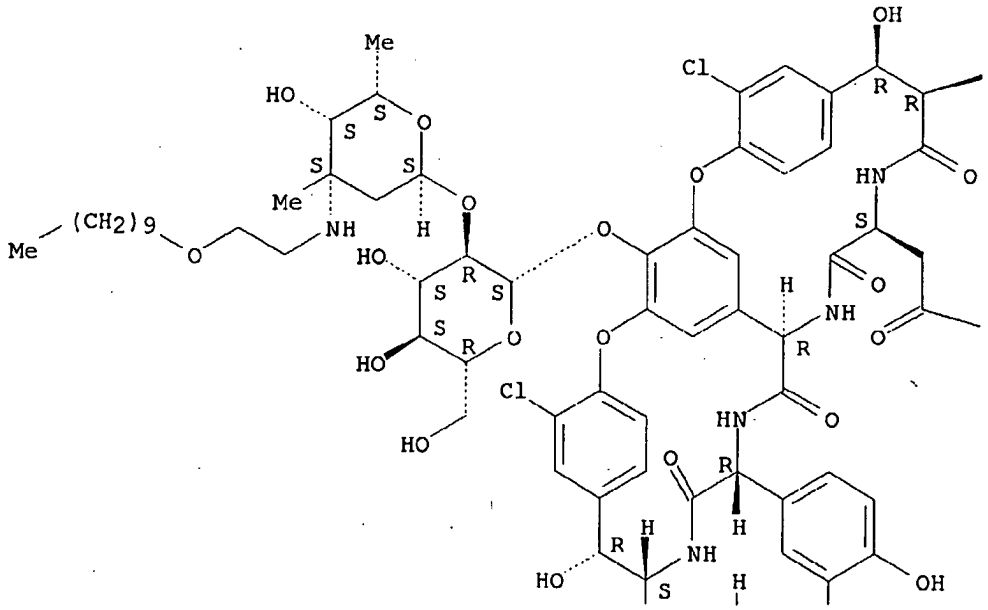
Searched by: Mary Hale 308-4258 CM-1 1E01

group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-90-3 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-[2-(decyloxy)ethyl]-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C82 H104 Cl2 N10 O28
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

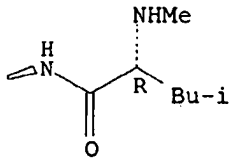
Absolute stereochemistry.

PAGE 1-A



Searched by: Mary Hale 308-4258 CM-1 1E01

PAGE 1-B



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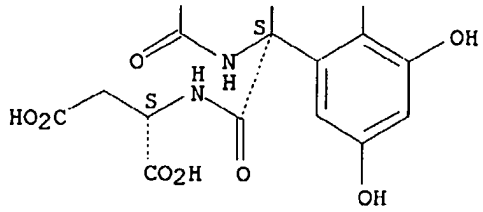

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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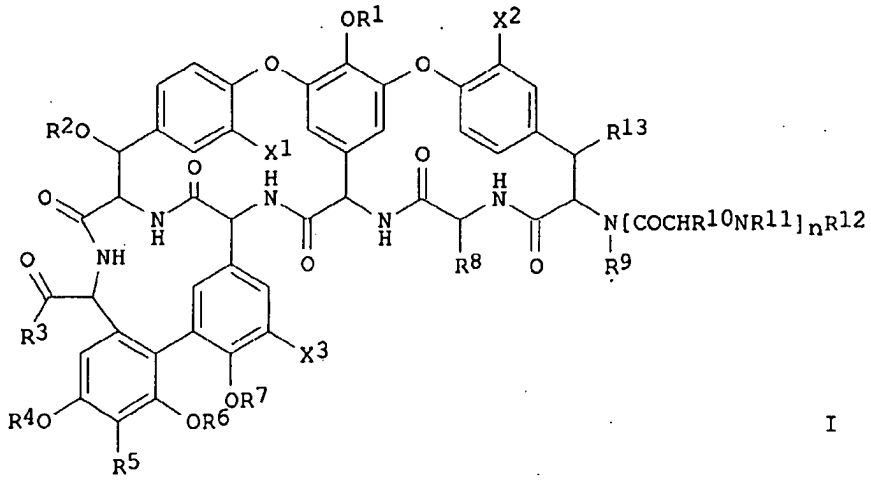
Searched by: Mary Hale 308-4258 CM-1 1E01



I

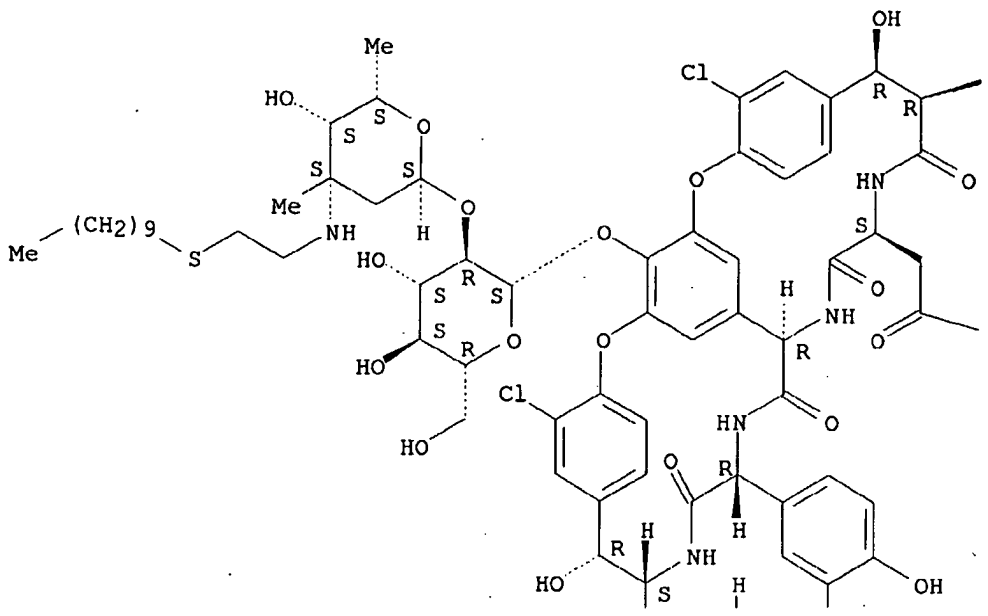
AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 6 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-89-0 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-[2-(decylthio)ethyl]-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C82 H104 Cl2 N10 O27 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01

PAGE 1-A



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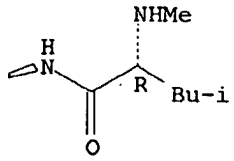

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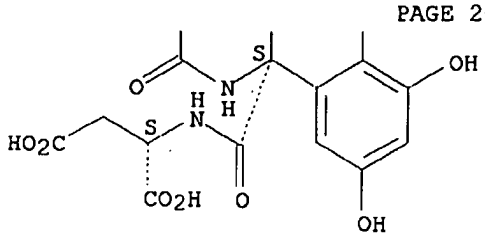


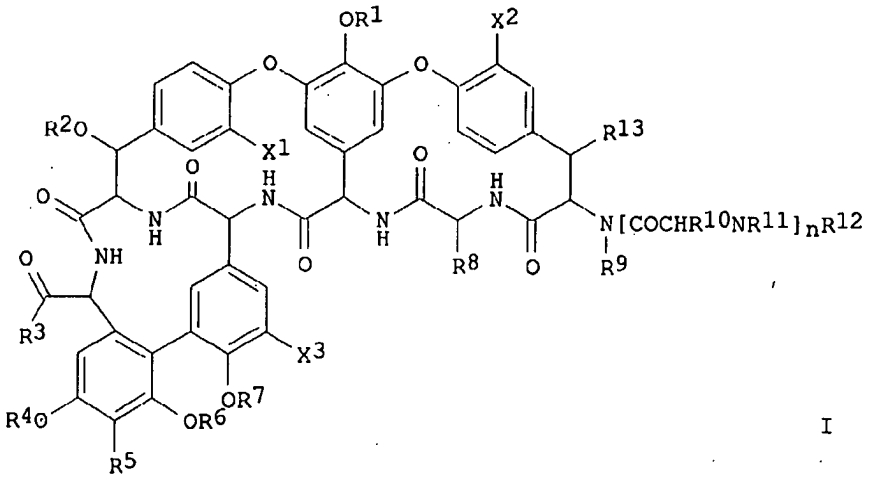
Searched by: Mary Hale 308-4258 CM-1 1E01

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

GI



I

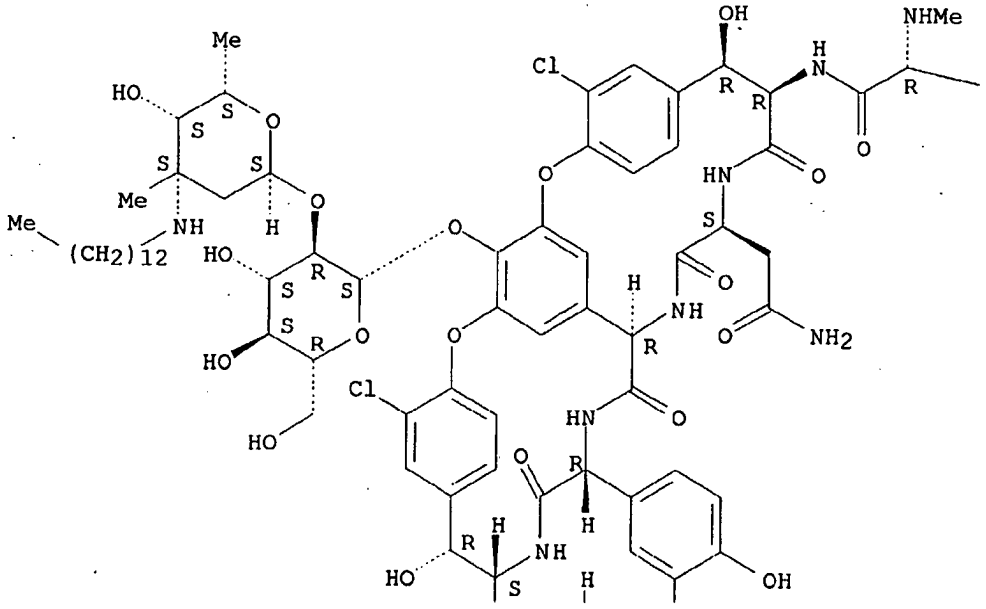
AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

Searched by: Mary Hale 308-4258 CM-1 1E01

L18 ANSWER 7 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-88-9 REGISTRY
CN Vancomycin, 26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-N3''-tridecyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C83 H106 Cl2 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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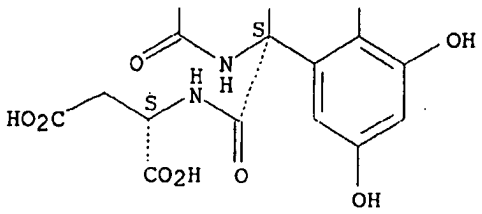
PAGE 2-A



Searched by: Mary Hale 308-4258 CM-1 1E01

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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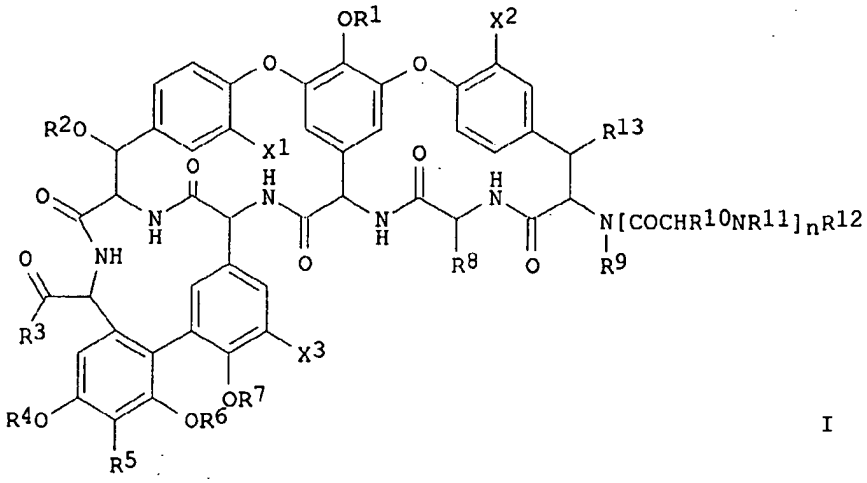


I

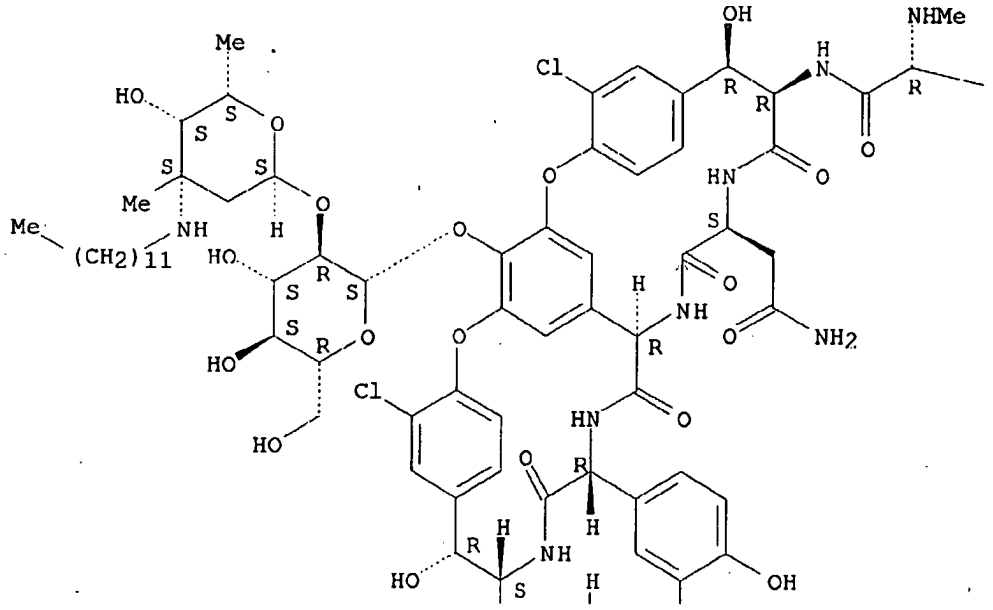
AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

Searched by: Mary Hale 308-4258 CM-1 1E01

L18 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-87-8 REGISTRY
CN Vancomycin, 26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-N3''-dodecyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C82 H104 Cl2 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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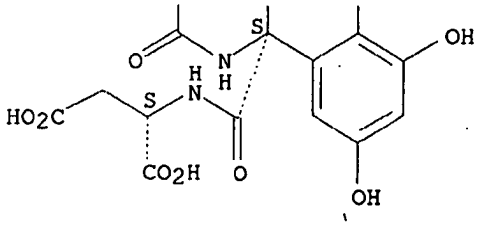
PAGE 2-A



Searched by: Mary Hale 308-4258 CM-1 1E01

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. LinseN., Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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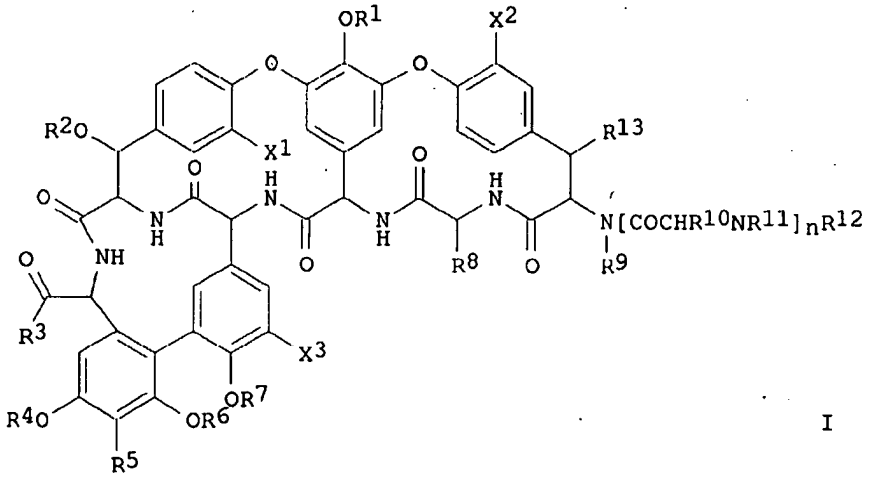


I

AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic

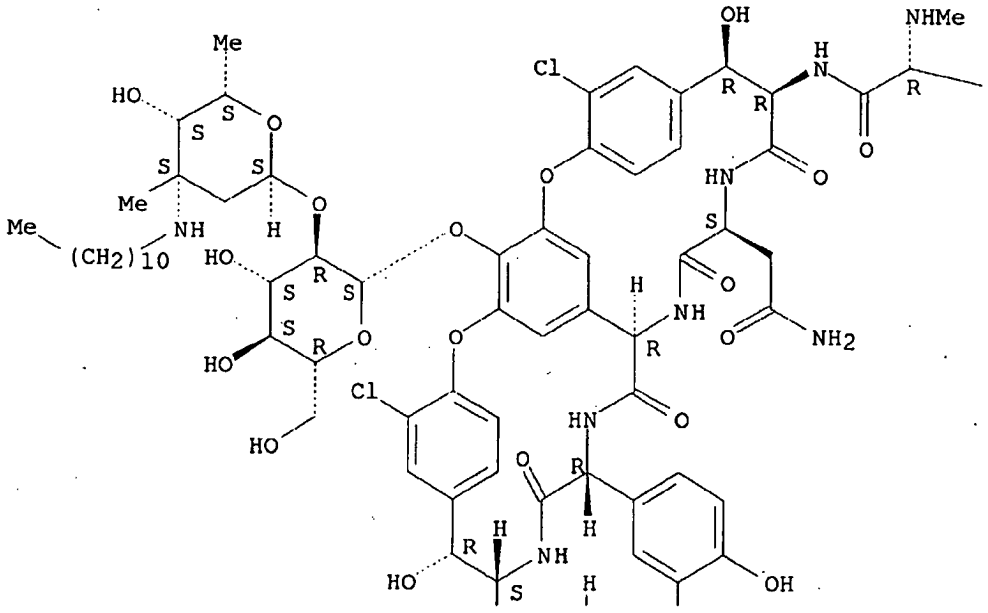
Searched by: Mary Hale 308-4258 CM-1 1E01

acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 9 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-86-7 REGISTRY
CN Vancomycin, 26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-N3''-undecyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C81 H102 Cl2 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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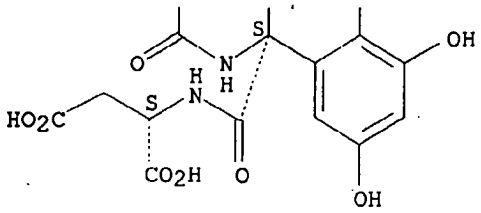
Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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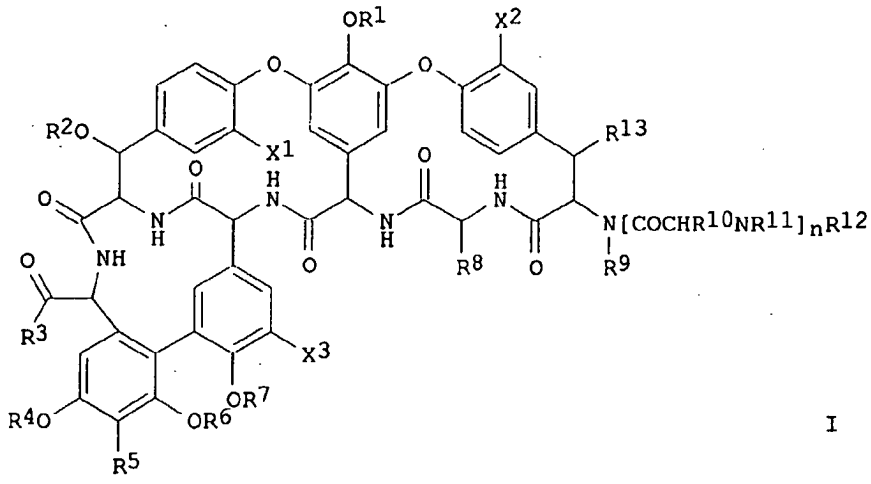

I

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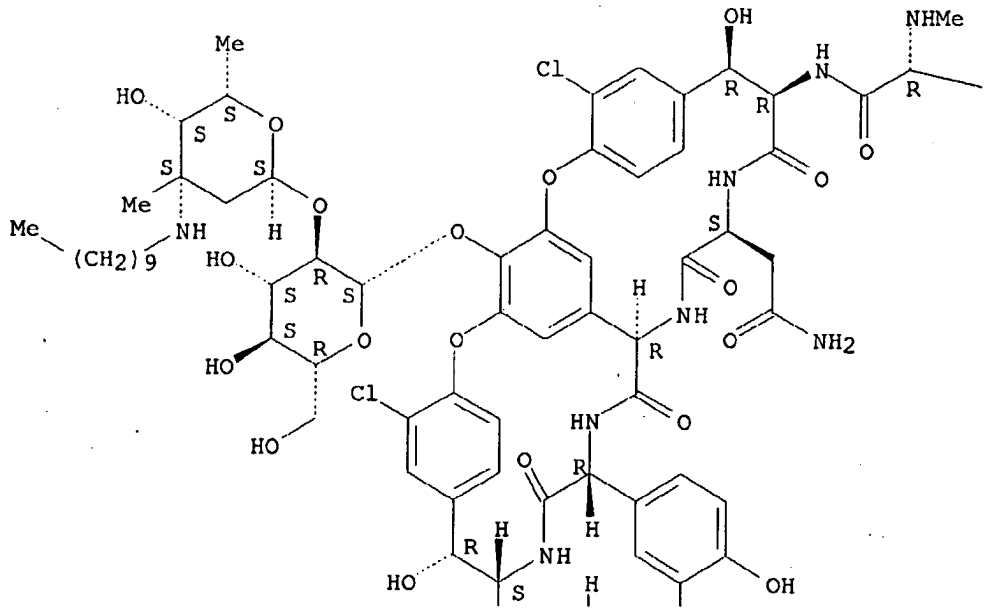
a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 10 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 370878-85-6 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-decyl-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C80 H100 Cl2 N10 O27
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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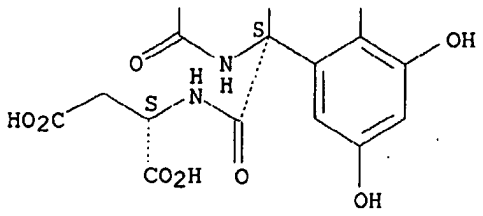
Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.

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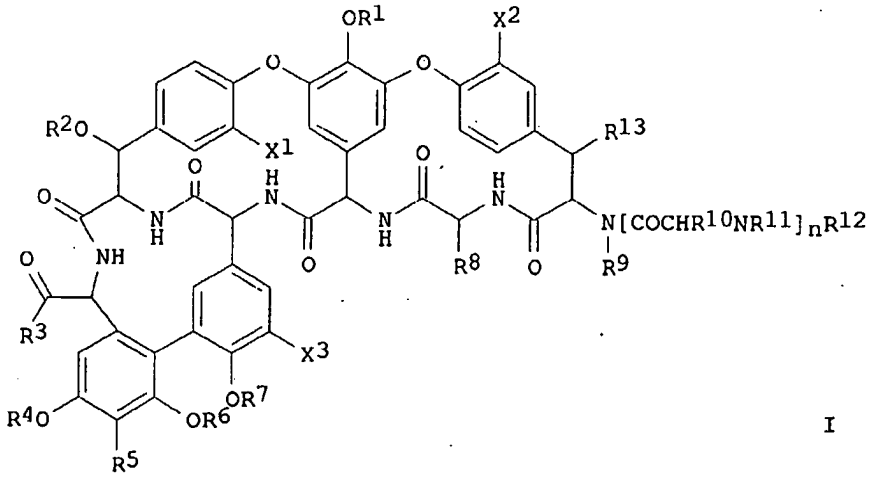

I

AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide

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group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L18 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281229-09-2 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-[2-(decylamino)ethyl]-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-29-[[[2-(2-hydroxyethoxy)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)
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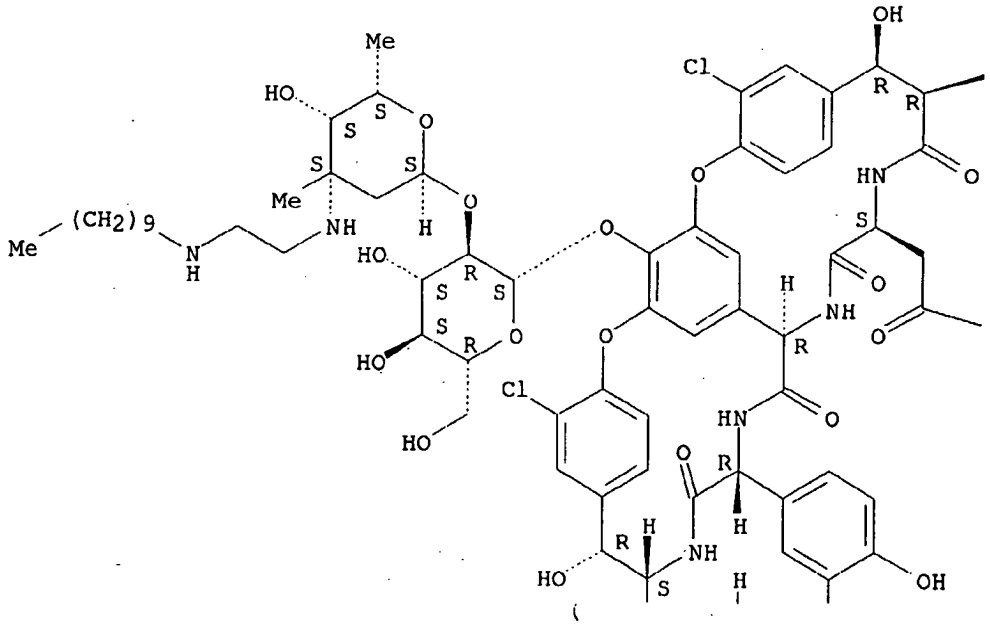
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



Searched by: Mary Hale 308-4258 CM-1 1E01

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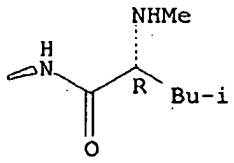

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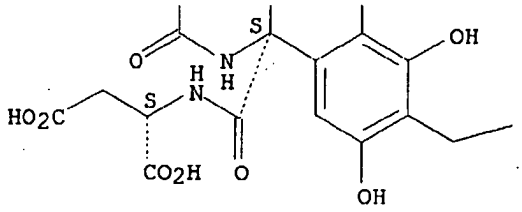

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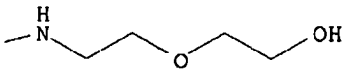

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:89801 Preparation of glycopeptide derivatives as antibacterial agents. Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp, Sean Gary; Yang, Guang; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2.

Searched by: Mary Hale 308-4258 CM-1 1E01

APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

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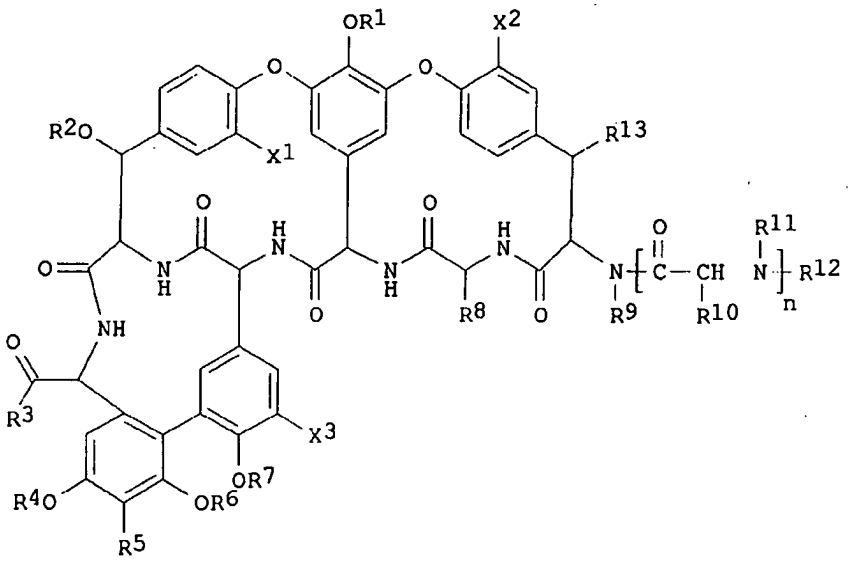

I

AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyde using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

L18 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281228-87-3 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-[2-(decylamino)ethyl]-29-[[(1-deoxy-D-

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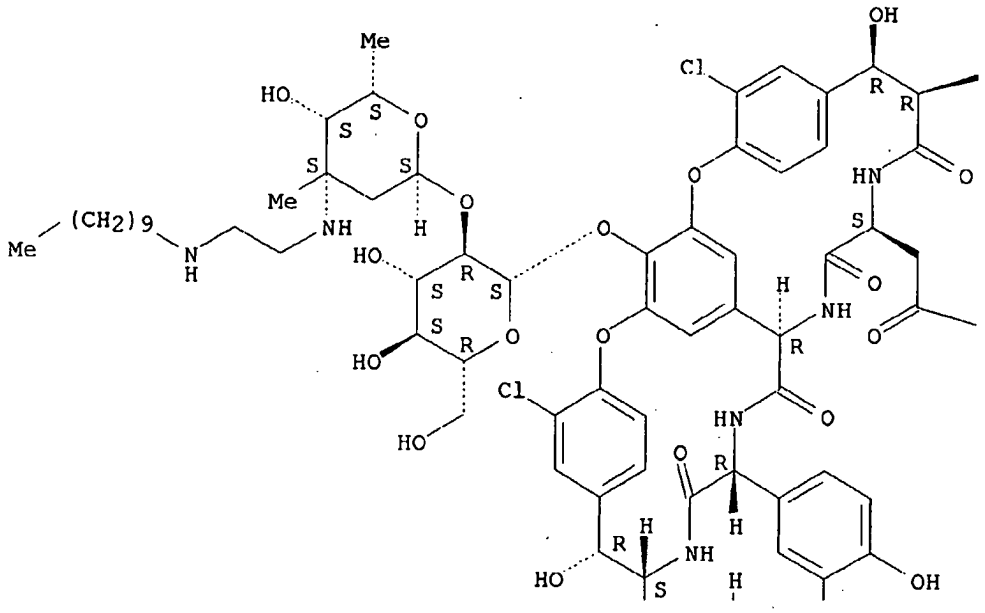
glucitol-1-yl)methylamino]methyl]-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C90 H122 Cl2 N12 O32
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



Searched by: Mary Hale 308-4258 CM-1 1E01

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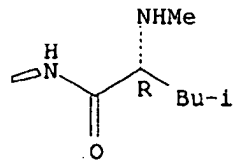

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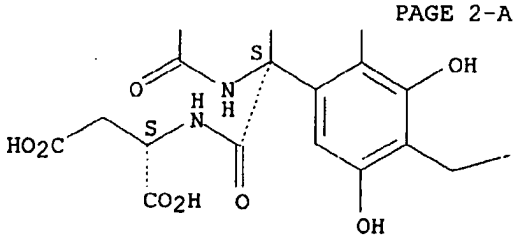

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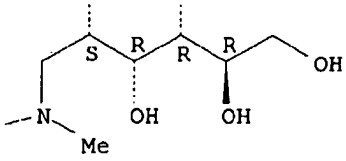

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:89801 Preparation of glycopeptide derivatives as antibacterial agents. Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp,

Searched by: Mary Hale 308-4258 CM-1 1E01

Sean Gary; Yang, Guang; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

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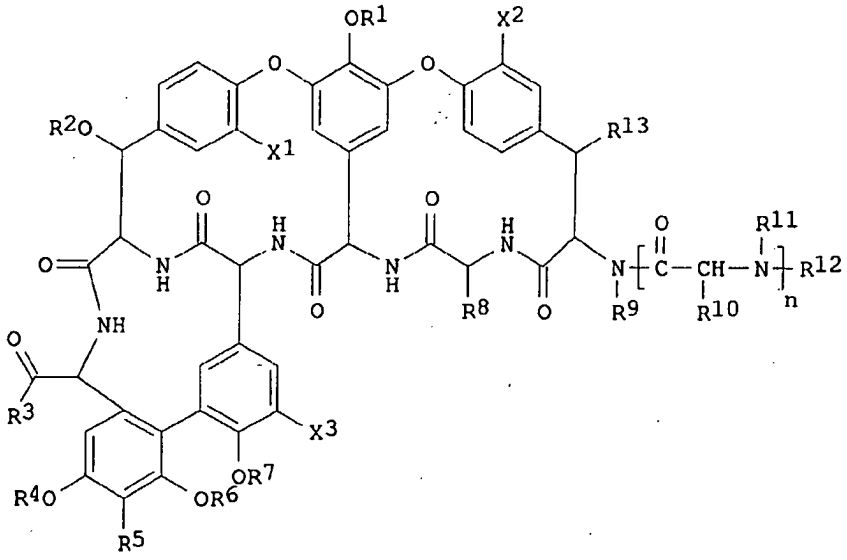

I

AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as

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antibacterial agents. Thus, vancomycin underwent reductive alkylation of the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyde using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

L18 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281227-52-9 REGISTRY
CN Vancomycin, N3''-[2-[[[4-[(4-chlorophenyl)methoxy]phenyl]methyl]amino]ethyl]-26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
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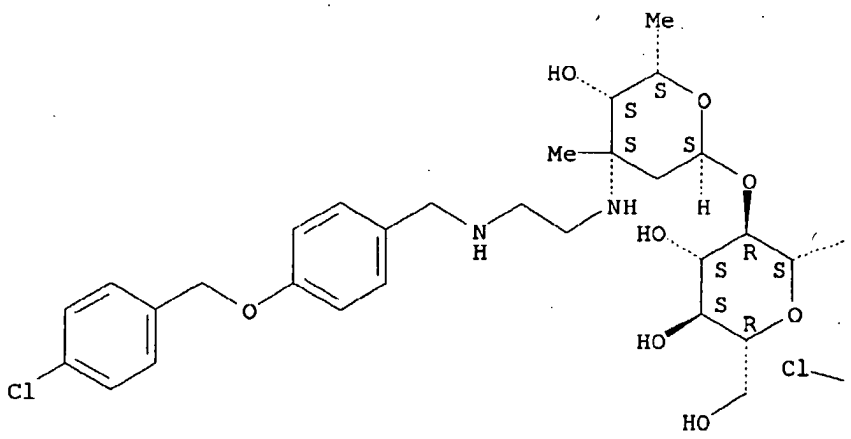
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



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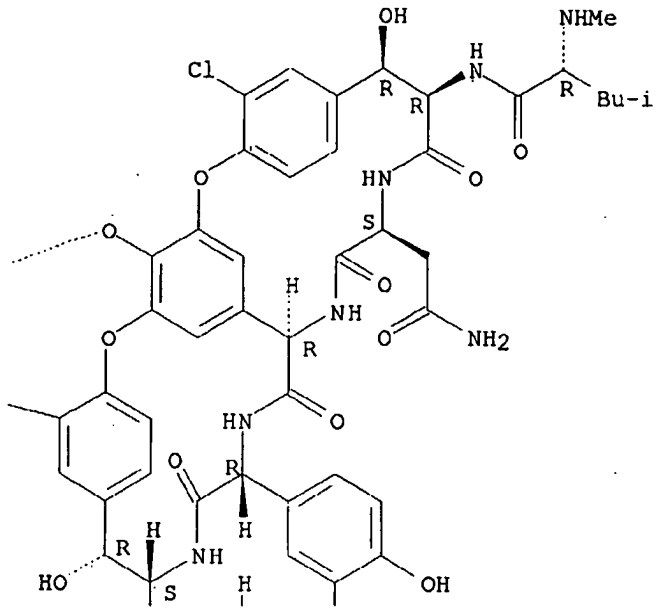
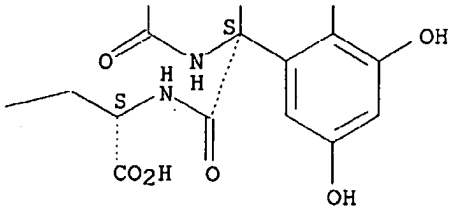


PAGE 2-A

HO_2C—

PAGE 2-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:89801 Preparation of glycopeptide derivatives as antibacterial agents. Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp, Sean Gary; Yang, Guang; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int.

Searched by: Mary Hale 308-4258 CM-1 1E01

Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

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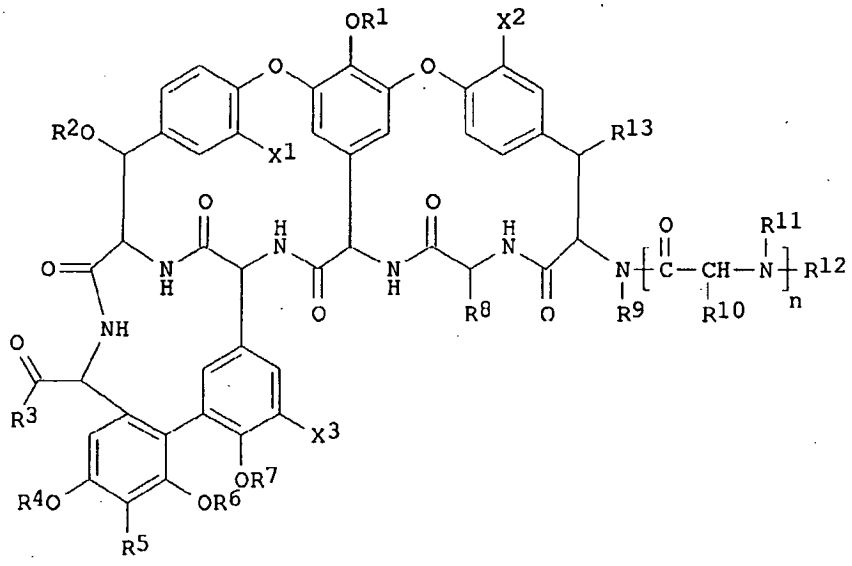

I

AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of

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L18 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281226-66-2 REGISTRY
CN Vancomycin, 26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-N3''-[2-[(9-hydroxydecyl)amino]ethyl]- (9CI) (CA INDEX NAME)
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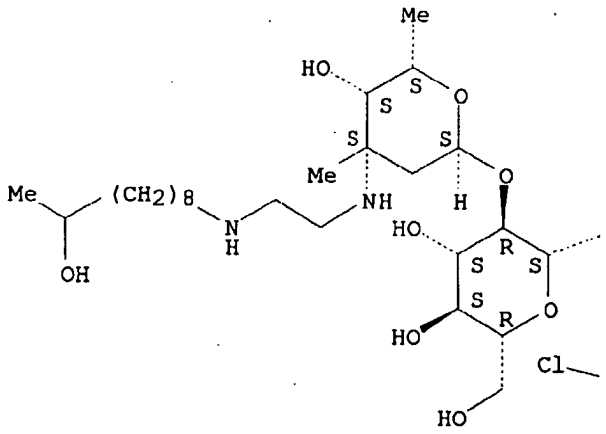
MF C82 H105 Cl2 N11 O28
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



Searched by: Mary Hale 308-4258 CM-1 1E01

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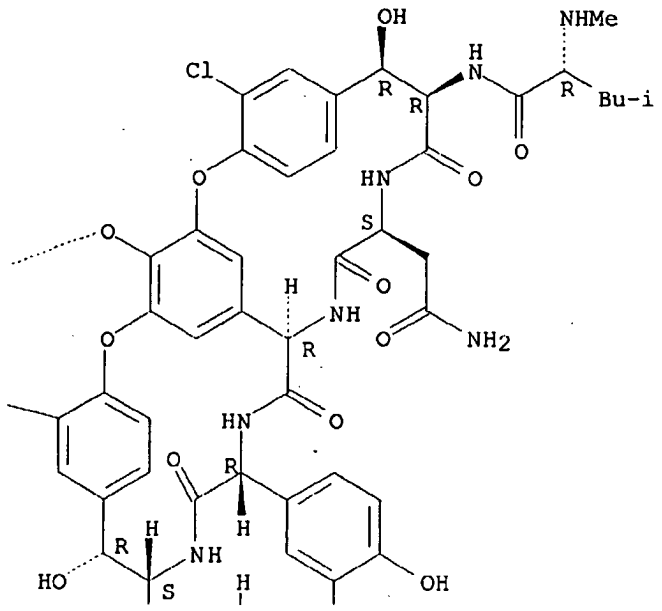
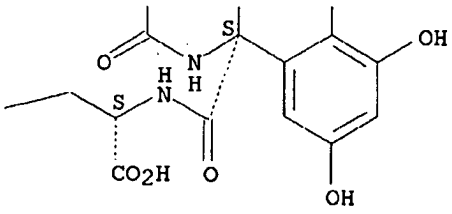


PAGE 2-A

HO_2C—

PAGE 2-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
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Searched by: Mary Hale 308-4258 CM-1 1E01

Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

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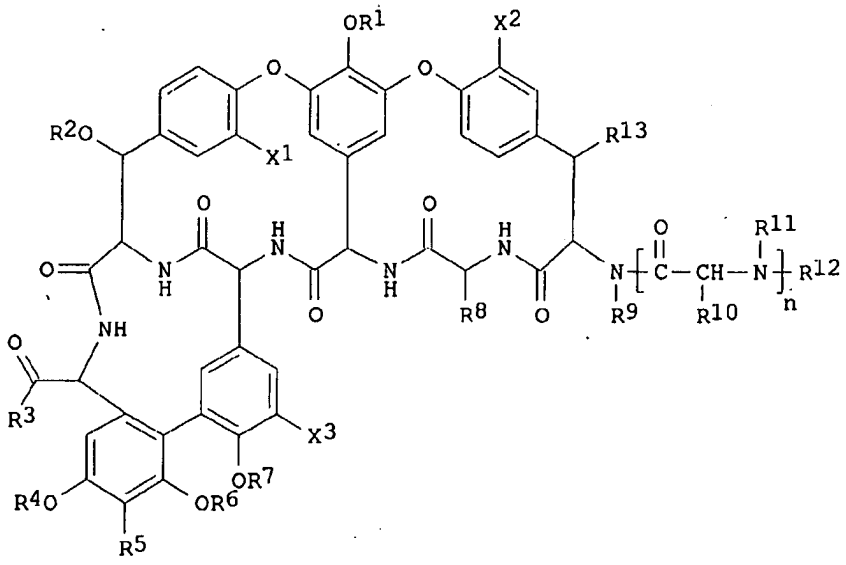


I

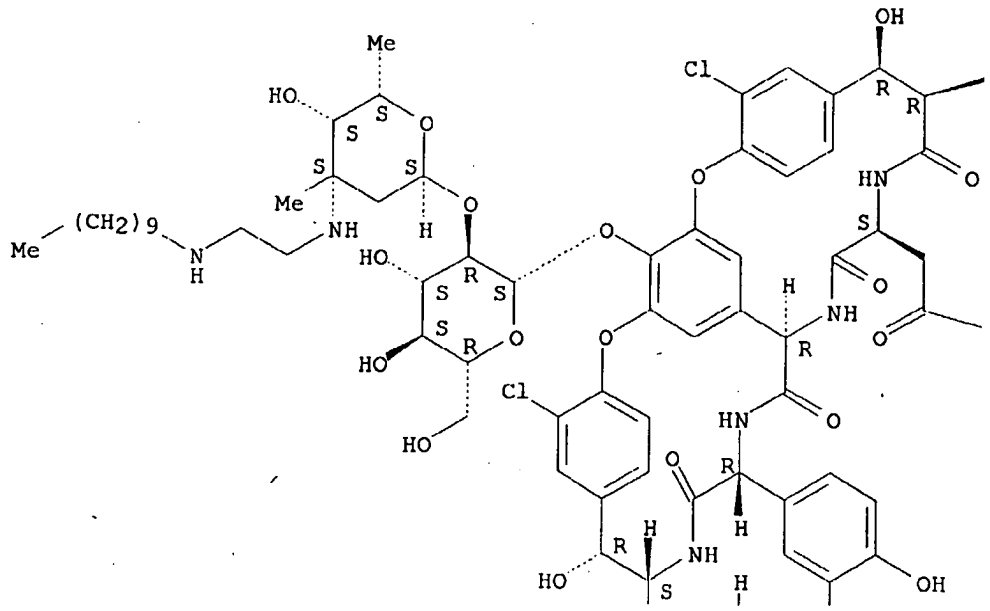
AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of

the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyd e using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

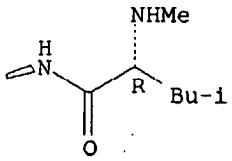
L18 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 281226-62-8 REGISTRY
CN Vancomycin, 26-decarboxy-N3''-[2-(decylamino)ethyl]-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C82 H105 Cl2 N11 O27
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

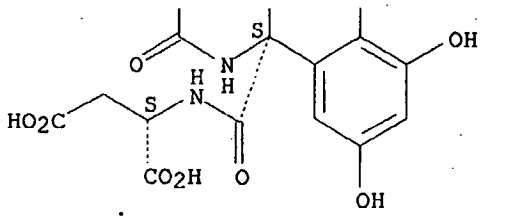
PAGE 1-A



PAGE 1-B



Searched by: Mary Hale 308-4258 CM-1 1E01



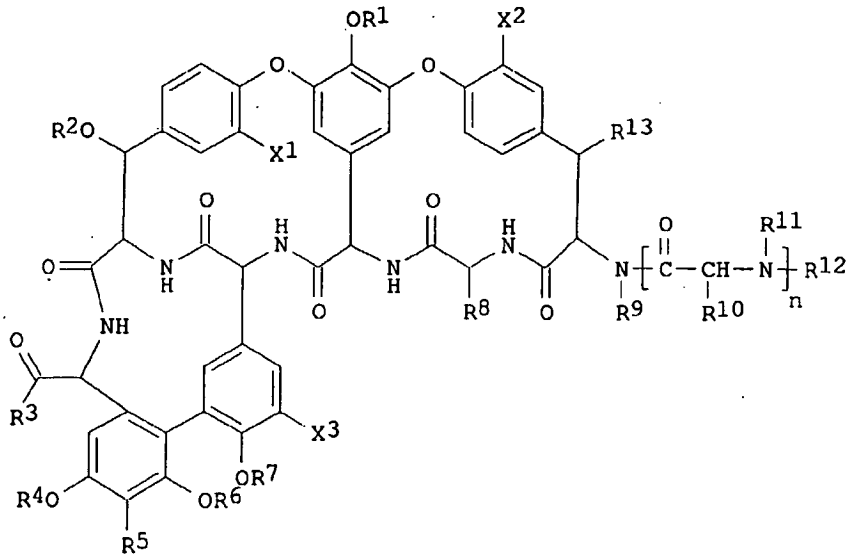
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:89801 Preparation of glycopeptide derivatives as antibacterial agents. Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp, Sean Gary; Yang, Guang; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

GI

Searched by: Mary Hale 308-4258 CM-1 1E01



I

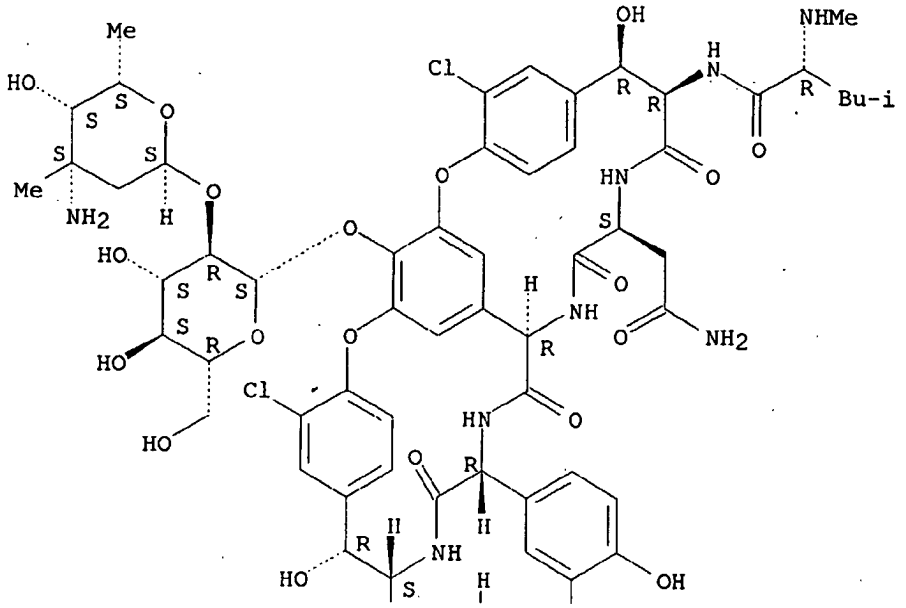
AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyde using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

L18 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2003 ACS on STN
RN 196695-53-1 REGISTRY
CN Vancomycin, 26-decarboxy-26-[[[(1S)-1,2-dicarboxyethyl]amino]carbonyl]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C70 H80 Cl2 N10 O27
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01

PAGE 1-A



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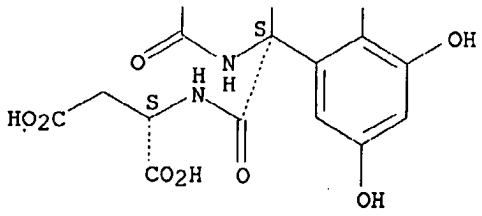

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:272278 Using Capillary Electrophoresis To Study the Electrostatic Interactions Involved in the Association of D-Ala-D-Ala with Vancomycin. Rao, Jianghong; Colton, Ian J.; Whitesides, George M. (Department of Chemistry and Chemical Biology, Harvard University, Cambridge, MA, 02138, USA). Journal of the American Chemical Society, 119(40), 9336-9340 (English) 1997. CODEN: JACSAT. ISSN: 0002-7863. Publisher: American Chemical Society.

AB This work examines the electrostatic interactions involved in the recognition of D-Ala-D-Ala (DADA) by vancomycin (Van) by using capillary electrophoresis (CE) and affinity capillary electrophoresis (ACE). Acetylation of the N-terminal amine of Van decreases its affinity for Di-Ac-L-Lys-D-Ala-D-Ala (Ac2KDADA) by a factor of 11 at pH 7.1 (from 4.3 .mu.M to 48 .mu.M). Succinylation of the N-terminus of Van introduces a

Searched by: Mary Hale 308-4258 CM-1 1E01

pendant neg. charge that further decreases its affinity for Ac2KDADA about 2-fold at pH 7.1. The assocn. of Ac-D-Ala-D-Ala (AcDADA) with Van shifts the pKa of the N-terminal amine of Van by 1.7 units from pKa 7.1 to 8.8, and thus changes its net charge in the range of values of pH between 6 and 10. The electrostatic interaction between the -CO2- group of the DADA moiety and the -NH2CH3+ group of Van contributes approx. 5.9 kJ/mol to the free energy of binding of these species. In addn. to establishing or confirming these thermodn. parameters, this paper illustrates the use of CE as a phys.-org. tool for use in examg. electrostatic interactions in biomol. recognition.

=> fil caol;s l18

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	422.88	423.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.92	-9.92

FILE 'CAOLD' ENTERED AT 17:10:20 ON 22 SEP 2003
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L19 0 L18

=> fil caplus,beilstein,uspatful;s l18

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.40	423.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.92

FILE 'CAPLUS' ENTERED AT 17:10:40 ON 22 SEP 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'BEILSTEIN' ENTERED AT 17:10:40 ON 22 SEP 2003
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licensed to Beilstein Chemiedaten & Software GmbH and MDL Information Systems GmbH

Searched by: Mary Hale 308-4258 CM-1 1E01

FILE 'USPATFULL' ENTERED AT 17:10:40 ON 22 SEP 2003
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L20 3 FILE CAPLUS
L21 0 FILE BEILSTEIN
L22 11 FILE USPATFULL

TOTAL FOR ALL FILES
L23 14 L18

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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L23
L24 14 DUP REM L23 (0 DUPLICATES REMOVED)

=> d cbib abs 1-14;s linsell, m?/au;s judicc, j?/au

L24 ANSWER 1 OF 14 USPATFULL on STN
2003:113630 Glycopeptide disulfide and thioester derivatives.
Mu, YongQi, Los Altos, CA, UNITED STATES
US 2003078371 A1 20030424
APPLICATION: US 2001-847048 A1 20010501 (9)
PRIORITY: US 2000-213146P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are disulfide and thioester derivatives of glycopeptides and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 2 OF 14 USPATFULL on STN
2003:86990 Glycopeptide derivatives and pharmaceutical compositions containing the same.
Judice, J. Kevin, El Granada, CA, UNITED STATES
Fatheree, Paul Ross, San Francisco, CA, UNITED STATES
Lam, Bernice M.T., San Francisco, CA, UNITED STATES
Leadbetter, Michael R., San leandro, CA, UNITED STATES
Linsell, Martin S., San Mateo, CA, UNITED STATES
Mu, YongQi, Los Altos, CA, UNITED STATES
Trapp, Sean Gary, San Francisco, CA, UNITED STATES
Yang, Guang, San Mateo, CA, UNITED STATES
Zhu, Yan, Foster City, CA, UNITED STATES
US 2003060598 A1 20030327
APPLICATION: US 2002-92088 A1 20020306 (10)
PRIORITY: US 1998-113728P 19981223 (60)
US 1999-129313P 19990414 (60)
US 1999-164024P 19991104 (60)
US 1999-169978P 19991210 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are derivatives of glycopeptide compounds having at least one substituent of the formula:

--R.sup.a--Y--R.sup.b--(Z).sub.x

Searched by: Mary Hale 308-4258 CM-1 1E01

where R.sup.a, R.sup.b, Y, Z and x are as defined, and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 3 OF 14 USPATFULL on STN
2002:149124 Pharmaceutical compositions containing a glycopeptide antibiotic and a cyclodextrin.
Judice, J. Kevin, El Granada, CA, UNITED STATES
Shaw, Jeng-Pyng, Saratoga, CA, UNITED STATES
Mu, YongQi, Los Altos, CA, UNITED STATES
Conner, Michael W., Half Moon Bay, CA, UNITED STATES
US 2002077280 A1 20020620
APPLICATION: US 2001-846893 A1 20010501 (9)
PRIORITY: US 2000-201178P 20000502 (60)
US 2000-213415P 20000622 (60)
US 2000-213410P 20000622 (60)
US 2000-213417P 20000622 (60)
US 2000-213146P 20000622 (60)
US 2000-213428P 20000622 (60)
US 2000-226727P 20000818 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are pharmaceutical compositions containing a cyclodextrin and a therapeutically effective amount of a glycopeptide antibiotic or a salt thereof. Also disclosed are methods of treating a bacterial disease in a mammal by administering such pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 4 OF 14 USPATFULL on STN
2002:106255 Polyacid glycopeptide derivatives.
Linsell, Martin S., San Mateo, CA, UNITED STATES
Judice, J. Kevin, El Granada, CA, UNITED STATES
US 2002055464 A1 20020509
APPLICATION: US 2001-847041 A1 20010501 (9)
PRIORITY: US 2000-201178P 20000502 (60)
US 2000-213415P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are derivatives of glycopeptides that are substituted at the C-terminus with a substituent that comprises two or more (e.g. 2, 3, 4, or 5) carboxy (CO.sub.2H) groups; and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 5 OF 14 USPATFULL on STN
2002:92632 Polyhudroxy glycopeptide derivatives.
Yang, Guang, San Mateo, CA, UNITED STATES
Schmidt, Donald E., JR., Brisbane, CA, UNITED STATES
Judice, J. Kevin, El Granada, CA, UNITED STATES
US 2002049156 A1 20020425
APPLICATION: US 2001-847061 A1 20010501 (9)
PRIORITY: US 2000-213428P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are polyhydroxy derivatives of glycopeptides and

Searched by: Mary Hale 308-4258 CM-1 1E01

pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 6 OF 14 USPATFULL on STN
2002:48578 Glycopeptide carboxy-saccharide derivatives.
Linsell, Martin S., San Mateo, CA, UNITED STATES
Fatheree, Paul R., San Francisco, CA, UNITED STATES
Leadbetter, Michael R., San Leandro, CA, UNITED STATES
Zhu, Yan, Foster City, CA, UNITED STATES
Judice, J. Kevin, El Granada, CA, UNITED STATES
US 2002028770 A1 20020307
APPLICATION: US 2001-847052 A1 20010501 (9)
PRIORITY: US 2000-213417P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are glycopeptide derivatives substituted at the C-terminus and/or the R-terminus with a substituent that comprises one or more saccharide groups and a carboxy group; and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 7 OF 14 USPATFULL on STN
2002:37870 Glycopeptide phosphonate derivatives.
Leadbetter, Michael R., San Leandro, CA, UNITED STATES
Linsell, Martin S., San Mateo, CA, UNITED STATES
US 2002022590 A1 20020221
APPLICATION: US 2001-847042 A1 20010501 (9)
PRIORITY: US 2000-213410P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are glycopeptides that are substituted with one or more substituents each comprising one or more phosphono groups; and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 8 OF 14 USPATFULL on STN
2002:17251 Reductive alkylation process.
Linsell, Martin S., San Mateo, CA, UNITED STATES
US 2002010131 A1 20020124
APPLICATION: US 2001-847060 A1 20010501 (9)
PRIORITY: US 2000-201178P 20000502 (60)
US 2000-213148P 20000622 (60)
DOCUMENT TYPE: Utility; APPLICATION.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides a novel reductive alkylation method useful for selectively alkylating saccharide-amines of glycopeptide antibiotics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 9 OF 14 USPATFULL on STN
2002:246836 Glycopeptide derivatives and pharmaceutical compositions containing the same.

Searched by: Mary Hale 308-4258 CM-1 1E01

Judice, J. Kevin, El Granada, CA, United States
Fatheree, Paul Ross, San Francisco, CA, United States
Lam, Bernice M. T., San Francisco, CA, United States
Leadbetter, Michael, San Leandro, CA, United States
linsell, Martin Sheringham, San Mateo, CA, United States
Mu, YongQi, Los Altos, CA, United States
Trapp, Sean Gary, San Francisco, CA, United States
Yang, Guang, Foster City, CA, United States
Zhu, Yan, Foster City, CA, United States
Theravance, Inc., South San Francisco, CA, United States (U.S. corporation)
US 6455669 B1 20020924
APPLICATION: US 2000-674456 20001101 (9)
PRIORITY: US 1998-113728P 19981223 (60)
US 1999-129313P 19990414 (60)
US 1999-164024P 19991104 (60)
US 1999-169978P 19991210 (60)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are derivatives of glycopeptide compounds having at least one substituent of the formula:

--R.sup.a--Y--R.sup.b--(Z).sub.x

where R.sup.a, R.sup.b, Y, Z and x are as defined, and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 10 OF 14 USPATFULL on STN
2002:224698 Glycopeptide derivatives and pharmaceutical compositions containing the same.
Judice, J. Kevin, El Granada, CA, United States
Fatheree, Paul Ross, San Francisco, CA, United States
Lam, Bernice M. T., San Francisco, CA, United States
Leadbetter, Michael R., San Leandro, CA, United States
Linsell, Martin S., San Mateo, CA, United States
Mu, YongQi, Los Altos, CA, United States
Trapp, Sean Gary, San Francisco, CA, United States
Yang, Guang, San Mateo, CA, United States
Zhu, Yan, Foster City, CA, United States
Advanced Medicine, Inc., South San Francisco, CA, United States (U.S. corporation)
US 6444786 B1 20020903
APPLICATION: US 2000-656473 20000906 (9)
PRIORITY: US 1998-113728P 19981223 (60)
US 1999-129313P 19990414 (60)
US 1999-164024P 19991104 (60)
US 1999-169978P 19991210 (60)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are derivatives of glycopeptide compounds having at least one substituent of the formula:

--R.sup.a--Y--R.sup.b--(Z).sub.x

where R.sup.a, R.sup.b, Y, Z and x are as defined, and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

Searched by: Mary Hale 308-4258 CM-1 1E01

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 11 OF 14 USPATFULL on STN
2002:116382 Glycopeptide derivatives and pharmaceutical compositions containing the same.
Judice, J. Kevin, El Granada, CA, United States
Fatheree, Paul Ross, San Francisco, CA, United States
Lam, Bernice M. T., San Francisco, CA, United States
Leadbetter, Michael R., San Leandro, CA, United States
Linsell, Martin S., San Mateo, CA, United States
Mu, YongQi, Los Altos, CA, United States
Trapp, Sean Gary, San Francisco, CA, United States
Yang, Guang, San Mateo, CA, United States
Zhu, Yan, Foster City, CA, United States
Advanced Medicine, Inc., South San Francisco, CA, United States (U.S. corporation)
US 6392012 B1 20020521
APPLICATION: US 1999-470209 19991222 (9)
PRIORITY: US 1998-113728P 19981223 (60)
US 1999-129313P 19990414 (60)
US 1999-164024P 19991104 (60)
US 1999-169978P 19991210 (60)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Disclosed are derivatives of glycopeptide compounds having at least one substituent of the formula:

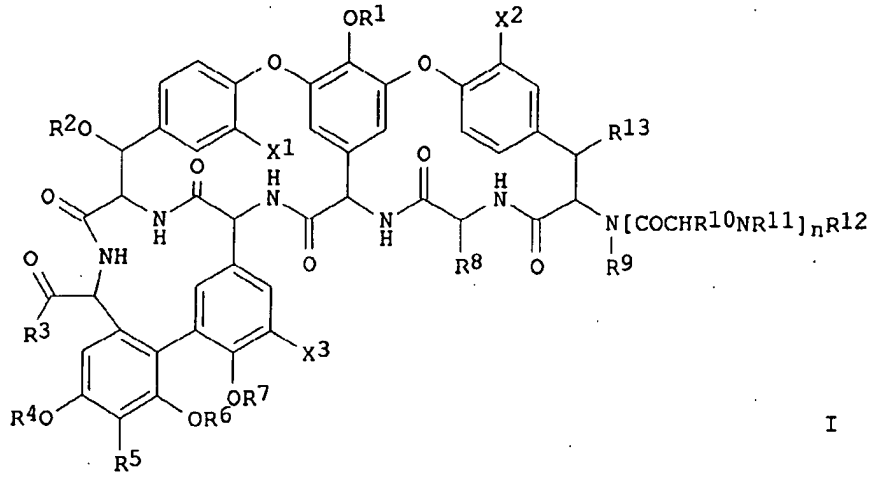
--R.sup.a--Y--R.sup.b--(Z).sub.x

where R.sup.a, R.sup.b, Y, Z and x are as defined, and pharmaceutical compositions containing such glycopeptide derivatives. The disclosed glycopeptide derivatives are useful as antibacterial agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L24 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
2001:816700 Document No. 135:344738 Preparation of polyacid glycopeptide derivatives. Linsell, Martin S.; Judice, J. Kevin (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001083520 A2 20011108, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13980 20010501. PRIORITY: US 2000-PV201178 20000502; US 2000-PV213415 20000622.
GI

Searched by: Mary Hale 308-4258 CM-1 1E01



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AB Glycopeptides I [R1 is H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl, aryl, heteroaryl, etc. or an (un)substituted saccharide group; R2 is H or an (un)substituted saccharide group; R3 is a N-, O-, or S-linked substituent comprising two or more carboxy groups; R4, R6 is H, (un)substituted alkyl, alkenyl, alkynyl, etc. or a saccharide group; R5 is H, halo, etc., an alkyl or aminoalkyl group which may contain a saccharide group; R5 and R6 may form an (un)substituted heterocyclic ring; R7-R12 = H, alkyl, etc.; R8 and R10 may form a (hetero)aryleneoxy(hetero)arylene group; R10 and R11 or R11 and R12 may form a heterocyclic ring; R13 is H or OR14, where R14 is H, acyl or a saccharide group; X1, X2, X3 are H or chloro; n = 0-2] are disclosed for use as antibacterial agents. Thus, treating vancomycin hydrochloride hydrate with S-decyl mercaptoacetaldehyde in DMF in the presence of N,N-diisopropylethylamine for 2 h at room temp., addn. of sodium cyanoborohydride in MeOH and then CF3CO2H, afforded Nvan-decylthioethylvancomycin. The latter was coupled with L-aspartic acid bis(fluorenylmethyl) ester trifluoroacetate to give the N-linked aspartic acid deriv. Pharmaceutical formulations are described.

L24 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN
2000:457093 Document No. 133:89801 Preparation of glycopeptide derivatives as antibacterial agents. Judice, J. Kevin; Fatheree, Paul Ross; Lam, Bernice M. T.; Leadbetter, Michael; Linsell, Martin Sheringham; Mu, Yongqi; Trapp, Sean Gary; Yang, Guang; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2000039156 A1 20000706, 178 pp. DESIGNATED STATES: W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US30543 19991222. PRIORITY: US 1998-PV113728 19981223; US 1999-PV129313 19990414; US 1999-PV164024 19991104; US 1999-PV169978 19991210.

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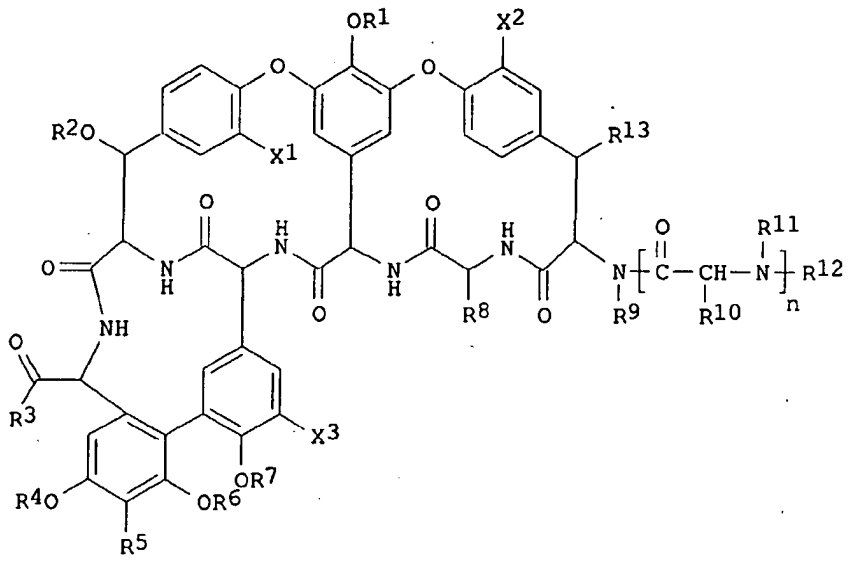

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AB Glycopeptide derivs I [R1 = H, aliph. or cycloaliph. residue which may be substituted, aryl, heteroaryl, heterocyclyl, -Ra-Y-Rb-(Z)m (Ra = (un)substituted, (un)satd. alkylene; Rb is a bond or groups defined by Ra; Y = O, S, S2, SO, SO2, NH, etc.; Z = H, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; m = 1 or 2) or a saccharide group optionally substituted with -Ra-Y-Rb-(Z)m (Q); R2 = H or a saccharide group optionally substituted with Q; R3 = ORc, NRc2, Q, -NRc-Q, NRcRe, or ORe, where Rc = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl and Re is a saccharide group; R4 = H, aliph., Q, acyl, or a saccharide group optionally substituted with Q; R5 = H, halo, CHRc-NRc2, CHRc-NRcRe, CHRc-NRc-Q; R6 = H, aliph., Q, acyl, or a saccharide group optionally substituted with -NRc-Q, or R5 and R6 form a heterocyclic ring substituted with -NRc-Q; R7 = H, aliph., Q, acyl; R8-R11 = H, (cyclo)aliph., aryl, heteroaryl, heterocyclyl or R8 and R10 are joined to form Ar1-O-Ar2, where Ar1 and Ar2 are arylene or heteroarylene and R10 and R11 are joined to form a heterocyclic ring; R12 = (cyclo)aliph., aryl, heteroaryl, heterocyclyl, acyl, carbamoyl or imino derivs., esters, Q or R11 and R12 are joined to form a heterocyclic ring; R13 = H or OR14, where R14 = H, acyl, or saccharide group; X1, X2, X3 = H, Cl] were prepd. as antibacterial agents. Thus, vancomycin underwent reductive alkylation of the glycosyl amino group by [(9-fluorenylmethoxycarbonyl)amino]acetaldehyde using Na cyanoborohydride. Deprotection and further reductive alkylation by decanal afforded N-[2-(decylamino)ethyl]vancomycin, along with the didecyl deriv.

L24 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

1997:660888 Document No. 127:272278 Using Capillary Electrophoresis To Study the Electrostatic Interactions Involved in the Association of D-Ala-D-Ala with Vancomycin. Rao, Jianghong; Colton, Ian J.; Whitesides, George M. (Department of Chemistry and Chemical Biology, Harvard University, Cambridge, MA, 02138, USA). Journal of the American Chemical Society, 119(40), 9336-9340 (English) 1997. CODEN: JACSAT. ISSN: 0002-7863. Publisher: American Chemical Society.

AB This work examines the electrostatic interactions involved in the

recognition of D-Ala-D-Ala (DADA) by vancomycin (Van) by using capillary electrophoresis (CE) and affinity capillary electrophoresis (ACE). Acetylation of the N-terminal amine of Van decreases its affinity for Di-Ac-L-Lys-D-Ala-D-Ala (Ac2KDADA) by a factor of 11 at pH 7.1 (from 4.3 .mu.M to 48 .mu.M). Succinylation of the N-terminus of Van introduces a pendant neg. charge that further decreases its affinity for Ac2KDADA about 2-fold at pH 7.1. The assocn. of Ac-D-Ala-D-Ala (AcDADA) with Van shifts the pKa of the N-terminal amine of Van by 1.7 units from pKa 7.1 to 8.8, and thus changes its net charge in the range of values of pH between 6 and 10. The electrostatic interaction between the -CO2- group of the DADA moiety and the -NH2CH3+ group of Van contributes approx. 5.9 kJ/mol to the free energy of binding of these species. In addn. to establishing or confirming these thermodn. parameters, this paper illustrates the use of CE as a phys.-org. tool for use in examg. electrostatic interactions in biomol. recognition.

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L25          15 FILE CAPLUS
L26          36 FILE BEILSTEIN
L27          13 FILE USPATFULL

TOTAL FOR ALL FILES
L28          64 LINSELL, M?/AU


L29          34 FILE CAPLUS
L30          40 FILE BEILSTEIN
L31          21 FILE USPATFULL

TOTAL FOR ALL FILES
L32          95 JUDICE, J?/AU

=> s l28 and l32
L33           6 FILE CAPLUS
L34           0 FILE BEILSTEIN
L35           7 FILE USPATFULL

TOTAL FOR ALL FILES
L36          13 L28 AND L32

=> dup rem l36
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L36
L37          13 DUP REM L36 (0 DUPLICATES REMOVED)

=> s l36 not l24
L38           3 S L24
L39           4 FILE CAPLUS
L40           0 S L24
L41           0 FILE BEILSTEIN
L42          11 S L24
L43           1 FILE USPATFULL

TOTAL FOR ALL FILES
L44           5 L36 NOT L24

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DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L44
L45 5 DUP REM L44 (0 DUPLICATES REMOVED)

=> d 1-5 cbib abs

L45 ANSWER 1 OF 5 USPATFULL on STN
2003:40665 Derivatives of glycopeptide antibacterial agents.
Chen, Qi-Qi, Irvine, CA, United States
Griffin, John H., Atherton, CA, United States
Jenkins, Thomas E., La Honda, CA, United States
Judice, J. Kevin, Montara, CA, United States
Linsell, Martin S., San Mateo, CA, United States
Leadbetter, Michael R., San Leandro, CA, United States
Theravance, Inc., South San Francisco, CA, United States (U.S. corporation)
US 6518242 B1 20030211
APPLICATION: US 1999-253670 19990219 (9)
PRIORITY: US 1999-119162P 19990208 (60)
US 1998-82209P 19980412 (60)
US 1998-78903P 19980320 (60)
US 1998-75514P 19980220 (60)
DOCUMENT TYPE: Utility; GRANTED.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel antibacterial agents that act as multibinding agents are disclosed. The compounds of the invention comprise from 2-10 ligands covalently connected, each of said ligands being capable of binding to a transglycosylase enzyme substrate thereby modulating the biological processes/functions thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L45 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
2003:336116 Document No. 139:81904 Multivalent Drug Design. Synthesis and In Vitro Analysis of an Array of Vancomycin Dimers. Griffin, John H.; **Linsell, Martin S.**; Nodwell, Matthew B.; Chen, QiQi; Pace, John L.; Quast, Kelly L.; Krause, Kevin M.; Farrington, Lesley; Wu, Terry X.; Higgins, Deborah L.; Jenkins, Thomas E.; Christensen, Burton G.; **Judice, J. Kevin** (Theravance Inc., South San Francisco, CA, 94080, USA). Journal of the American Chemical Society, 125(21), 6517-6531 (English) 2003. CODEN: JACSAT. ISSN: 0002-7863. Publisher: American Chemical Society.
AB The design, synthesis, and in vitro microbiol. anal. of an array of forty covalently linked vancomycin dimers are reported. This work was undertaken to systematically probe the impact of linkage orientation and linker length on biol. activity against susceptible and drug-resistant Gram-pos. pathogens. To prep. the array, monomeric vancomycin synthons were linked through four distinct positions of the glycopeptide (C-terminus (C), N-terminus (N), vancosamine residue (V), and resorcinol ring (R)) in 10 unique pairwise combinations. Amphiphilic, peptide-based linkers of four different lengths (11, 19, 27, and 43 total atoms) were employed. Both linkage orientation and linker length were found to affect in vitro antibacterial potency. The V-V series displayed the greatest potency against vancomycin-susceptible organisms and vancomycin-resistant Enterococcus faecalis (VRE) of VanB phenotype, while the C-C, C-V, and V-R series displayed the most promising broad-spectrum activity that included VRE of VanA phenotype. Dimers bearing the shortest linkers were in all cases preferred for activity against VRE. The effects of linkage orientation and linker length on in vitro potency were not uniform; for example, (1) no single compd. displayed activity that was superior against all test organisms to that of vancomycin or the other dimers, (2) linker

length effects varied with test organism, and (3) whereas one-half of the dimers were more potent than vancomycin against methicillin-susceptible Staphylococcus aureus (MSSA), only one dimer was more potent against methicillin-resistant S. aureus (MRSA) and glycopeptide-intermediate susceptible S. aureus (GISA). In interpreting the results, the authors have considered the potential roles of multivalency and of other phenomena.

L45 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
2001:935629 Document No. 136:74625 Glycopeptide carboxy-saccharide derivatives useful as antibacterial agents. **Linsell, Martin S.**; Fatheree, Paul R.; **Judice, J. Kevin**; Leadbetter, Michael R.; Zhu, Yan (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 2001098327 A2 20011227, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US13996 20010501. PRIORITY: US 2000-PV213417 20000622.

AB Disclosed are glycopeptide derivs. substituted at the C-terminus and/or the R terminus with a substituent that comprises one or more saccharide groups and a carboxy group; and pharmaceutical compns. contg. such glycopeptide derivs. The disclosed glycopeptide derivs. are useful as antibacterial agents. A glucosamine deriv. of vancomycin was prepd. by the reaction of NVAN-decyloxyetyhyl vancomycin bistrifluoroacetate with L-glutamic acid .delta.-N-(D-glucosamine)amide hydrochloride. Antibacterial activity of the vancomycin derivs. was shown in vitro and in vivo. A suppository contained glucosamine deriv. of vancomycin 500 mg, and Witepsol H-15 for the balance.

L45 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
1999:549285 Document No. 131:170642 Preparation of vancomycin-related antibacterial agents. Chon, Qi-Qi; Griffin, John H.; Jenkins, Thomas E.; **Judice, J. Kevin**; **Linsell, Martin S.** (Advanced Medicine, Inc., USA). PCT Int. Appl. WO 9942476 A1 19990826, 174 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1999-US3850 19990222. PRIORITY: US 1998-PV75514 19980220; US 1998-PV78903 19980320; US 1998-PV82209 19980417.

AB Novel antibacterial agents that act as multibinding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepd. by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prep. pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

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L45 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
1999:755837 Document No. 131:322927 Preparation of vancomycin-related antibacterial agents. Chen, Qi Qi; Griffin, John H.; Jenkins, Thomas E.; **Judice, J. Kevin; Linsell, Martin S.**; Leadbetter, Michael R. (Advanced Medicine Inc., USA). Fr. Demande FR 2778184 A1 19991105, 193 pp. (French). CODEN: FRXXBL. APPLICATION: FR 1999-2172 19990222. PRIORITY: US 1998-75514 19980220; US 1998-78903 19980320; US 1998-82209 19980417.

AB Novel antibacterial agents that act as multi-binding agents, LpXq [L is a ligand such as an optionally substituted glycopeptide, e.g., vancomycin; X is a linker, e.g., NHR6NHCOR7CONHR8NH (R6, R7, R8 are optionally substituted alkylene); p = 2-10; q = 1-20], are disclosed. The compds. of the invention are capable of binding to a transglycosylase enzyme substrate, thereby modulating their biol. processes/functions. Thus, [C-C]-[pentane-1,5-dioic acid bis(2-aminoethyl)amide]bis(vancomycin) was prepd. by condensation of vancomycin hydrochloride with pentanedioic acid bis(2-aminoethyl)amide and used to prep. pharmaceutical formulations. The compds. of the invention showed a broad spectrum of antibacterial activity.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	43.86	467.35
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.56	-14.48

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